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(71) Applicant (for all designated States except US): GLAXO GROUP LIMITED [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford Middlesex UB6 0NN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BORTHWICK,

Alan, David [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). KELLY, Henry, Anderson [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). WATSON, Nigel, Stephen [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). YOUNG, Robert, John [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB).

(74) Agent: BAKER, Suzanne, Jane; GlaxoSmithKline, Corporate Intellectual Property (CN925.1), 980 Great West Road, Brentford Middlesex TW8 9GS (GB).

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(54) Title: PYRROLIDINE - 2- ONES AS FACTOR XA INHIBITORS

$$\begin{array}{c}
R_{\downarrow}^{2} \\
N - S \\
0 & 0
\end{array}$$
(I)

$$-(C_{0-3})alk \longrightarrow Z$$

$$-(C_{2-n})alk \longrightarrow Z$$

$$(II)$$

(57) Abstract: The invention relates to compounds of formula (I): wherein: R1 represents a group selected from: formula (II), each ring of which optionally contains a further heteroatom N, Z represents an optional substituent halogen, alk represents alkylene or alkenylene, T represents S, O or NH; R2 represents hydrogen, -C1-6alkyl, -C1-3alkyl- $CONR^aR^b, \quad -C_{1\text{-}3}alkylCO_2C_{1\text{-}4}alkyl, \quad -CO_2C_{1\text{-}4}alkyl \quad or \quad$ -C_{1.3}alkylCO₂H; R^a and R^b independently represent hydrogen, -C_{1.6}alkyl, or together with the N atom to which they are bonded form a 5-, 6- or 7- membered non-aromatic heterocyclic ring optionally containing an additional heteroatom selected from O, N or S, optionally substituted by $-C_{1-4}$ alkyl, and optionally the S heteroatom is substituted by O, i.e. represents $S(O)_n$; n represents 0-2; X represents phenyl or a 5- or 6- membered aromatic heterocyclic group containing at least one heteroatom selected from O, N or S, each of which is optionally substituted by 0-2 groups selected from: halogen, -C₁₋₄alkyl, -C₂₋₄alkenyl, -CN, -CF₃, $-NR^aR^b, \quad -C_{0-4}alkylOR^c, \quad -C(O)R^t \quad and \quad -C(O)NR^aR^b;$ R^e represents hydrogen or -C₁₋₆alkyl; R^f represents -C₁₋₆alkyl; Y represents phenyl or a 5- or 6- membered aromatic heterocyclic group containing at least one heteroatom selected from O, N or S, each of which is

substituted by a group -C₁₋₂alkylNR^eR^d. R^e and R^d, together with the nitrogen atom to which they are bonded, form a 4-membered heterocyclic ring optionally substituted by halogen, OH or OC1-6alkyl, or a 5- or 6- membered non-aromatic heterocyclic ring substituted by OH, -OC₁₋₆alkyl or 1 to 2 halogens, with the proviso that the substituent is not attached to a ring carbon atom adjacent to a heteroatom; and/or pharmaceutically acceptable derivatives thereof. The invention also relates to processes for the preparation of compounds of formula (I), pharmaceutical compositions containing compounds of formula (I) and to the use of compounds of formula (I) in medicine, particularly in the amelioration of a clinical condition for which a Factor Xa inhibitor is indicated.

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